PATENT SPECIFICATION

NO DRAWINGS

1,144,915

1,144,915

Date of filing Complete Specification: 23 Nov., 1967.

Application Date: 24 Nov., 1966. No. 52599/67.

Complete Specification Published: 12 March, 1969.

Index at acceptance:—A5 B(3, 4)

Int. Cl.:—A 61 k 9/00

COMPLETE SPECIFICATION

Improvements in or relating to Pastille Formulations

ERRATUM

SPECIFICATION No. 1,144,915

Page 1, Heading, Application Date: 24 Nov., 1966, *for* "No. 52599/67." *read* "No. 52599/66."

THE PATENT OFFICE
28th April 1969

mulation of medicinal pastilles.

The primary object of a medicinal pastille is to provide a pleasant means of administering medicaments intended to bathe the mucous membranes. It is well known to use both hard and soft pastille bases, for different purposes. The soft base is usually sugared and dissolves quickly in the mouth. The hard gum-gelatin base is resistant to all but the most vigorous chewing and lasts a longer time. At present these are always presented as separate formulations.

According to the present invention there is provided a medicinal pastille comprising

(a) a first solid portion, comprising a first medicament intended to provide a relatively prolonged medicinal effect, the said first portion being so formulated as to dissolve slowly in the mouth and thereby to provide slow release of the said medicament in the mouth; and

(b) a second solid portion, comprising a second medicament intended to provide faster medicinal effect than said first medicament, the said second portion being so formulated as to dissolve in the mouth at a faster rate than said first portion and thereby to provide relatively fast release of said second medicament.

In the pastilles according to the invention the relative hardness of the two portions may be controlled by altering the gelatin contents the addition of gums, sugars, sorbitol, or other solids, and by alteration of the glycerin or water content.

The pastille is prepared by mixing the ingredients for each portion, shaping and stoving each portion, the two portions being combined to form the pastille either after stoving or before some or all of the stoving. The hardness of the layer is dependent on the temperature and duration of stoving and the ingedients employed. Examples of compositions from which the second portion may be prepared are as follows:—

EXAMPLE 1

76 lbs. sugar
20½ lbs. glucose
3½ lbs. gelatin
8½ oz. Tartaric Acid

EXAMPLE 2

66 lbs. sugar
27½ lbs. glucose
6 lbs. gelatin
2½ oz. tartaric acid.

Medicaments, and flavourings, if desired, are added to the composition and the mixture

[*Price 4s. 6d.*]

SEE ERRATA SLIP ATTAC

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COMPLETE SPECIFICATION

Improvements in or relating to Pastille Formulations

We, ARMOUR PHARMACEUTICAL COMPANY LIMITED, a British Company, of Hampden Park, Eastbourne, Sussex and ROBERT BARRIE CHRISTIE, a British Subject, of 49 Willingdon Park Drive, Hampden Park, Eastbourne, Sussex, do hereby declare the invention for which we pray that a patent may be granted to us and the method by which it is to be performed to be particularly described in and by the following statement:—

This invention relates to improvements in pastille formulations. More particularly the invention relates to improvements in the formulation of medicinal pastilles.

The primary object of a medicinal pastille is to provide a pleasant means of administering medicaments intended to bathe the mucous membranes. It is well known to use both hard and soft pastille bases, for different purposes. The soft base is usually sugared and dissolves quickly in the mouth. The hard gum-gelatin base is resistant to all but the most vigorous chewing and lasts a longer time. At present these are always presented as separate formulations.

According to the present invention there is provided a medicinal pastille comprising

(a) a first solid portion, comprising a first medicament intended to provide a relatively prolonged medicinal effect, the said first portion being so formulated as to dissolve slowly in the mouth and thereby to provide slow release of the said medicament in the mouth; and

(b) a second solid portion, comprising a second medicament intended to provide faster medicinal effect than said first medicament, the said second portion being so formulated as to dissolve in the mouth at a faster rate than said first portion and thereby to provide relatively fast release of said second medicament.

In the pastilles according to the invention may be incorporated quick acting medicaments in the second portion—for example centrally acting cough suppressants, bronchodilators, etc for cough pastilles, and demulcents, expectorants, and other medicaments intended to act over a longer period may be incorporated in the first portion.

The pastilles may be employed to alleviate various conditions, such as sore throats, colds, coughs, indigestion, etc. The dual action pastille may be employed for two conditions together, for example cough and sore throat relief.

The relative hardness of the two portions may be controlled by altering the gelatin contents the addition of gums, sugars, sorbitol, or other solids, and by alteration of the glycerin or water content.

The pastille is prepared by mixing the ingredients for each portion, shaping and stoving each portion, the two portions being combined to form the pastille either after stoving or before some or all of the stoving. The hardness of the layer is dependent on the temperature and duration of stoving and the ingedients employed. Examples of compositions from which the second portion may be prepared are as follows:—

EXAMPLE 1

76 lbs. sugar
20¼ lbs. glucose
3½ lbs. gelatin
8¾ oz. Tartaric Acid

EXAMPLE 2

66 lbs. sugar
27½ lbs. glucose
6 lbs. gelatin
2¼ oz. tartaric acid.

Medicaments, and flavourings, if desired, are added to the composition and the mixture

[*Price* 4*s.* 6*d.*]

SEE ERRATA SLIP ATTACHED

stoved in the manner known in the art.

Example of compositions from which the first portion may be prepared are as follows:—

EXAMPLE 3

33 lbs. sugar
5 lbs. glucose
13 lbs. gelatin
12 oz tartaric acid
4 oz. flavour

EXAMPLE 4

37¼ lbs. sugar
52½ lbs. glucose
9¾ lbs. gelatin
1¾ oz. tartaric acid
4¼ oz. flavour

EXAMPLE 5

Gelatin 1 ounce
Glycerin 2½ ounces
Acacia 2 drachms
Aromatic Water 2 ounces

Medicaments and flavourings, if desired, are added to the composition and the mixture stoved. It is usual for stoving to be carried out over a longer period than, and at a higher temperature than, the second portion in order to form a harder first portion. Heat labile drugs may be readily incorporated in the second portion of the pastille. The time of solution in the mouth of each portion may be varied by adjusting the gum content and temperature and duration of stoving of that portion.

It will be understood that the medicament included in the first and second portions will be selected according to the condition to be treated and the intended consumer, for example a child or an adult. The medicament to be selected and the concentration to be employed in each portion will be readily ascertainable by those skilled in the art. Examples of medicaments which may be employed are as follows:—

Suitable medicaments for the soft portion are dextromethorphan, phenylpropanolamine, ephedrine hydrochloride, pheniramine maleate, benzocaine, hyoscine hydrobromide, isoprenaline sulphate, eucalyptus oil, method, thymol. The action of all of these in their particular areas of medication is required immediately.

Suitable medicaments for the hard portion are ammonium chloride, glycryl guaiacholate, syrup of tolu, liquid extract of squill, sodium benzoate, liquid extract of ipecacuanha, cresol, phenol, bismuch carbonate, aluminium hydroxide, p-chlorophenol. All of these benefit from a slow release in the mouth.

Following is a description by way of example of the method of preparation of pastilles in accordance with the present invention.

EXAMPLE 6

To form the first portion of the pastille, a composition comprising 18 parts acacia to 6 parts of sugar was dissolved in 80 parts of water, stirred and concentrated to 30 parts. The medicament was added and the mixture, after it has become transparent, was poured into moulds and stoved at 50°C. for two days to harden.

A base composition for the second portion was prepared from the following ingredients:—

Sugar	—26%	Gelatin—	6.5%
Liquid Glucose—	40%	Citric Acid—	0.5%
Water	—27%	Flavour	

The gelatin was soakcd in cold water for 45 minutes and then dissolved by heating on a water bath at 60°C. Scum was removed. Sugar, liquid glucose and water were boiled together at 115°C., allowed to cool to 60°C. and added to the gelatin solution. Citric acid, flavour and medicament were added.

Approximately one half of the base for the second portion prepared in this manner were poured into a mould at a temperature of about 40°C. and hard cores prepared from acacia and sugar as hereinbefore described added. The remainder of the second portion base was added and solidification carried out.

EXAMPLE 7

A base composition for the first portion prepared from the ingredients of Example 5 was poured into a mould and cooled to below room temperature as rapidly as possible. The base composition for the second portion was prepared as in Example 6 and poured onto the cooled base composition for the first portion. Stoving was carried out at 37°C. for two to three days to form a two-layered pastille.

The pastilles in accordance with this invention may, for example, be formulated such that the said first portion forms a core surrounded by the said second portion, or *vice versa*, or alternatively the two portions of the pastille may, for example, be formulated as layered structure with, for instance, the said first portion forming an inner layer and the said second portion forming outer layers on either side of the inner layer.

WHAT WE CLAIM IS:—

1. A medicinal pastille comprising

(a) a first solid portion, comprising a first medicament intended to provide a relatively prolonged medicinal effect, the said first portion being so formulated as to dissolve slowly in the mouth and thereby to provide slow release of the said medicament in the mouth; and

(b) a second solid portion, comprising a second medicament intended to provide a faster medicinal effect than said first medica-

ment, the said second portion being so formulated as to dissolve in the mouth at a faster rate than said first portion and thereby to provide relatively fast release of said second medicament.

2. A medicinal pastille as claimed in claim 1 which pastille further comprises one or more flavouring ingredients.

3. A medicinal pastille as claimed in claim 1 or claim 2 which is formulated such that either the said first or second portion forms a core surrounded by the said other portion.

4. A medicinal pastille as claimed in claim 1 or claim 2 said pastille being formulated as a layered structure.

5. A medicinal pastille as claimed in claim 4 wherein said first portion forms an inner layer and said second portion forms two outer layers one on either side of the inner layer.

6. A medicinal pastille as claimed in any one of the preceding claims wherein the second medicament comprises dextromethorphan, phenylpropanolamine, ephedrine hydrochloride, pheniramine maleate, benzocaine, hyoscine hydrobromide, isoprenaline sulphate, eucalyptus oil, menthol thymol, or mixtures thereof.

7. A medicinal pastille as claimed in any one of the preceding claims wherein the first medicament comprises ammonium chloride, glyceryl guaiacholate, syrup of tolu, liquid extract of squill, sodium benzoate, liquid extract of ipecacuanha, cresol, phenol, bismuch carbonate, aluminium hydroxide, p-chlorophenol, or mixtures thereof.

8. A process for manufacturing a medicinal pastille claimed in claim 1, which process comprises mixing the ingredients for each portion, shaping and stoving each portion, the two portions being combined to form an integral pastille.

9. A process as claimed in claim 8 wherein the stoving of the first portion is carried out over a longer period, and at a higher temperature, than the stoving of the second portion.

10. A process as claimed in claim 8 or claim 9 wherein part of the stoving of the portions constituting the pastille is carried out after the two portions are combined.

11. A process as claimed in any one of claims 8 to 10 the relative hardness of the two portions is controlled by altering the gelatin content, the addition of gums, sugars, sorbitol, or other solids or by alteration of the glycerin or water content.

12. A medicinal pastille as claimed in claim 1 wherein the second portion is prepared from a composition substantially as described in Example 1 or Example 2.

13. A medicinal pastille as claimed in claim 1 wherein the first portion is prepared from a composition substantially as described in any one of Examples 3 to 5.

14. A process as claimed in claim 8 substantially as described in Example 6 or Example 7.

15. A medicinal pastille as claimed in claim 1 whenever prepared by a process as claimed in any one of claims 8,9,10,11, 14 and 15.

BOULT, WADE & TENNANT,
111 & 112, Hatton Garden, London, E.C.1.
Chartered Patent Agents,
Agents for the Applicant(s).

Printed for Her Majesty's Stationery Office by the Courier Press, Leamington Spa, 1969.
Published by the Patent Office, 25, Southampton Buildings, London, W.C.2, from which copies may be obtained.